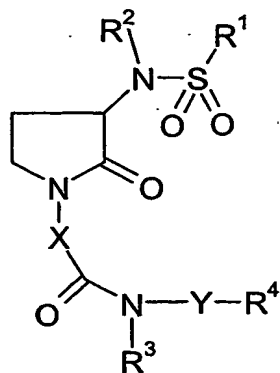


Claims

1. A compound of formula (I):

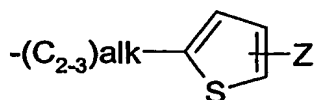
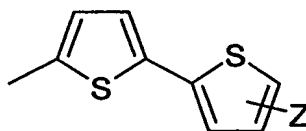
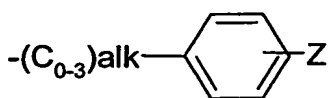
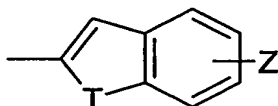
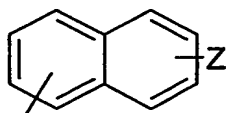


5

(I)

wherein:

R¹ represents a group selected from:



each ring of which optionally contains a further heteroatom N,

10 Z represents an optional substituent halogen,

alk represents alkylene or alkenylene,

T represents S, O or NH;

R² represents hydrogen, -C₁₋₆alkyl, -C₁₋₃alkylCONR^aR^b, -C₁₋₃alkylCO₂C₁₋₄alkyl, -C₂₋
 15 ₃alkylmorpholino, -CO₂C₁₋₄alkyl, or -C₁₋₃alkylCO₂H;

R^a and R^b independently represent hydrogen, $-C_{1-6}$ alkyl, or together with the N atom to which they are bonded form a 5-, 6- or 7- membered non-aromatic heterocyclic ring optionally containing an additional heteroatom selected from O, N or S, optionally substituted by $-C_{1-4}$ alkyl, and optionally the S heteroatom is substituted by O, i.e. represents $S(O)_n$;

n represents 0-2;

10 X represents phenyl or a 5- or 6- membered aromatic heterocyclic group containing at least one heteroatom selected from O, N or S, each of which is optionally substituted by 0-2 groups selected from: halogen, $-C_{1-4}$ alkyl, $-C_{2-4}$ alkenyl, $-CN$, $-CF_3$, $-NR^aR^b$, $-C_{0-4}alkylOR^e$, $-C(O)R^f$ and $-C(O)NR^aR^b$;

15 R^e represents hydrogen or $-C_{1-6}$ alkyl;
 R^f represents $-C_{1-6}$ alkyl;
Y is absent or represents $-C_{1-3}$ alkylene-;

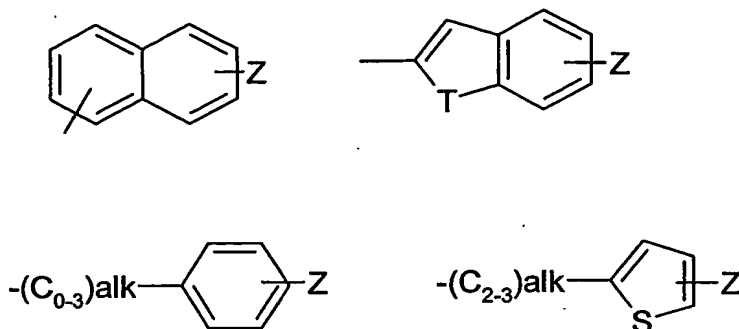
R^3 represents hydrogen or $-C_{1-6}$ alkyl;

20 R^4 represents $-C_{3-4}$ alkenyl, $-CH_2CH_2OH$, $-CH_2CO_2H$, $-CH_2CH_2OC_{1-3}alkyl$, $-CH_2CH_2SO_2C_{1-3}alkyl$, $-CH_2CH_2NR^cR^d$, $-CH_2CONR^cR^d$, phenyl or a 5- or 6- membered aromatic or non-aromatic heterocyclic group containing at least one heteroatom selected from O, N or S and optionally substituted by $-C_{1-4}$ alkyl;

25 R^c and R^d independently represent hydrogen, $-C_{1-6}$ alkyl, or together with the N atom to which they are bonded form a 5-, 6- or 7- membered non-aromatic heterocyclic ring optionally containing an additional heteroatom selected from O, N or S, optionally substituted by $-C_{1-4}$ alkyl;

30 and/or pharmaceutically acceptable derivative thereof.

2. A compound according to claim 1 wherein R^1 represents a group selected from:



each ring of which optionally contains a further heteroatom N,
 Z represents an optional substituent halogen,
 alk represents alkylene or alkenylene,

5 T represents S, O or NH;

and/or pharmaceutically acceptable derivative thereof.

3. A compound according to claim 1 or claim 2 wherein R^2 represents hydrogen and/or pharmaceutically acceptable derivative thereof.

10

4. A compound according to any one of claims 1-3 wherein X represents phenyl or a 5- or 6- membered aromatic heterocyclic group containing at least one heteroatom selected from O, N or S, each of which is optionally substituted by 0-2 groups selected from: halogen, $-C_{1-4}alkyl$ or $-NR^aR^b$ and/or pharmaceutically acceptable derivative thereof.

15

5. A compound according to any one of claims 1-4 wherein Y is absent or represents C_{1-2} alkylene and/or pharmaceutically acceptable derivative thereof.

6. A compound according to any one of claims 1-5 wherein R^3 represents hydrogen or
 20 methyl and/or pharmaceutically acceptable derivative thereof.

7. A compound according to any one of claims 1-6 wherein R^4 represents $-C_{3-4}alkenyl$, $-CH_2CH_2OH$, $-CH_2CO_2H$, $-CH_2CH_2OCH_3$, $-CH_2CH_2SO_2CH_3$, $-CH_2CH_2NR^cR^d$, $-CH_2CONR^cR^d$, phenyl or a 5- or 6- membered aromatic heterocyclic group containing one
 25 or two heteroatoms selected from O, N or S and optionally substituted by $-C_{1-4}alkyl$ and/or pharmaceutically acceptable derivative thereof.

8. A compound according to claim 1 selected from:

4-[3-([(1E)-2-(5-Chloro-2-thienyl)-1-propen-1-yl]sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-
 30 fluoro-N-methyl-N-[2-(methyamino)ethyl]benzamide;

- 4-[3-(((1*E*)-2-(5-Chloro-2-thienyl)-1-propen-1-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-(2-hydroxyethyl)-*N*-methylbenzamide;
- 4-[3-(((1*E*)-2-(5-Chloro-2-thienyl)-1-propen-1-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methyl-*N*-(2-pyridinylmethyl)benzamide;
- 5 4-[3-(((1*E*)-2-(5-Chloro-2-thienyl)-1-propen-1-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methyl-*N*-[2-(methylsulfonyl)ethyl]benzamide;
- 4-[3-(((1*E*)-2-(5-Chloro-2-thienyl)-1-propen-1-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methyl-*N*-[2-(methyloxy)ethyl]benzamide;
- 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methyl-*N*-[2-(3-pyridinyl)ethyl]benzamide;
- 10 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methyl-*N*-(2-phenylethyl)benzamide;
- 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-(4-pyridinylmethyl)benzamide;
- 15 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-(3-pyridinylmethyl)benzamide;
- 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-(2-hydroxyethyl)-*N*-methylbenzamide;
- 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-(phenylmethyl)benzamide;
- 20 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methyl-*N*-[2-(methyloxy)ethyl]benzamide;
- 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-*N*-[2-(dimethylamino)ethyl]-3-fluoro-*N*-methylbenzamide;
- 25 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methyl-*N*-[2-(methylsulfonyl)ethyl]benzamide;
- 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methyl-*N*-2-propen-1-ylbenzamide;
- N*-(2-Amino-2-oxoethyl)-4-[3-(((*E*)-2-(5-chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methylbenzamide;
- 30 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methyl-*N*-(4-pyridinylmethyl)benzamide;
- 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-methyl-*N*-[2-(1-pyrrolidinyl)ethyl]benzamide;
- 35 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-[2-(1*H*-imidazol-4-yl)ethyl]-*N*-methylbenzamide;
- 4-[3-(((*E*)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-*N*-(3-hydroxypropyl)-*N*-methylbenzamide;

- 4-[3-(((E)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[3-(methylamino)-3-oxopropyl]benzamide;
 4-[3-(((E)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(4-methyl-1H-imidazol-5-yl)ethyl]benzamide;
 5 N-({4-[3-(((E)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluorophenyl}carbonyl)-N-methylglycine;
 N-({4-[3-(((E)-2-(5-Chloro-2-thienyl)ethenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluorophenyl}carbonyl)glycine;
 4-(3-(((6-Chloro-1-benzothien-2-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl)-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methylbenzamide;
 10 4-(3-(((6-Chloro-1-benzothien-2-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl)-3-fluoro-N-methyl-N-[2-(methylamino)ethyl]benzamide;
 4-(3-(((6-Chloro-1-benzothien-2-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl)-3-fluoro-N-methyl-N-[2-(3-pyridinyl)ethyl]benzamide;
 15 N-(2-Aminoethyl)-4-(3-(((6-chloro-1-benzothien-2-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl)-3-fluoro-N-methylbenzamide;
 4-[3-(((1E)-2-(5-Chloro-2-thienyl)-1-propen-1-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methylbenzamide;
 4-[3-(((1E)-2-(5-Chloro-2-thienyl)-1-propen-1-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(3-pyridinyl)ethyl]benzamide;
 20 4-[3-(((1E)-2-(5-Chloro-2-thienyl)-1-propen-1-yl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl]-3-fluoro-N-[2-(1H-imidazol-4-yl)ethyl]-N-methylbenzamide;
 4-(3-(((6-Chloro-2-naphthalenyl)sulfonyl)amino)-2-oxo-1-pyrrolidinyl)-3-fluoro-N-methyl-N-[2-(methylamino)ethyl]benzamide;
 25 and/or pharmaceutically acceptable derivative thereof.
9. A compound according to any one of claims 1-8 and/or pharmaceutically acceptable derivative thereof for use in therapy.
- 30 10. A pharmaceutical composition comprising a compound according to any one of claims 1-8 and/or pharmaceutically acceptable derivative thereof together with a pharmaceutical carrier and/or excipient.
11. Use of a compound according to any one of claims 1-8 and/or pharmaceutically acceptable derivative thereof for the manufacture of a medicament for the treatment of a patient suffering from a condition susceptible to amelioration by a Factor Xa inhibitor.
- 35 12. A method of treating a patient suffering from a condition susceptible to amelioration by a Factor Xa inhibitor comprising administering a therapeutically effective amount of a

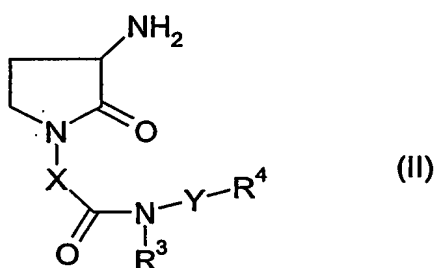
55

compound according to any one of claims 1-8 and/or pharmaceutically acceptable derivative thereof.

13. A process for preparing a compound of formula (I) which comprises:

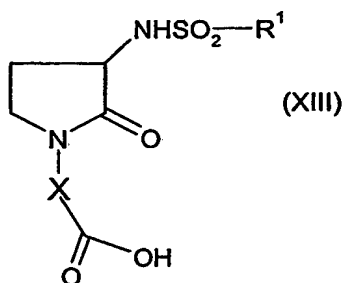
5

(a) reacting compound of formula (II) or an acid addition salt thereof with a compound of formula (III) where V is a suitable leaving group:



10 OR:

(b) by reacting compounds of formula (XIII) with compounds of formula (VI):



15



(c) by reacting a compound of formula (I) where R^2 is hydrogen with a compound of formula (XVII):

20



where R^2 is $-C_{1-6}$ alkyl, $-C_{1-3}$ alkylCONR^aR^b, $-C_{1-3}$ alkylCO₂C₁₋₄alkyl, $-C_{2-3}$ alkylmorpholino or $-CO_2C_{1-4}$ alkyl and T is a suitable leaving group, optionally followed by removal of the alkyl
5 protecting group where appropriate.